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NEWS	7	SEP 09	ACD predicted properties enhanced in REGISTRY/ZREGISTRY
NEWS	8	SEP 22	MATHDI to be removed from STN

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NEWS HOURS	STN Operating Hours Plus Help Desk Availability
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* * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 19:44:48 ON 26 SEP 2005

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.21	0.21

FILE 'REGISTRY' ENTERED AT 19:45:00 ON 26 SEP 2005
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STRUCTURE FILE UPDATES: 25 SEP 2005 HIGHEST RN 863878-84-6
DICTIONARY FILE UPDATES: 25 SEP 2005 HIGHEST RN 863878-84-6

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TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2005

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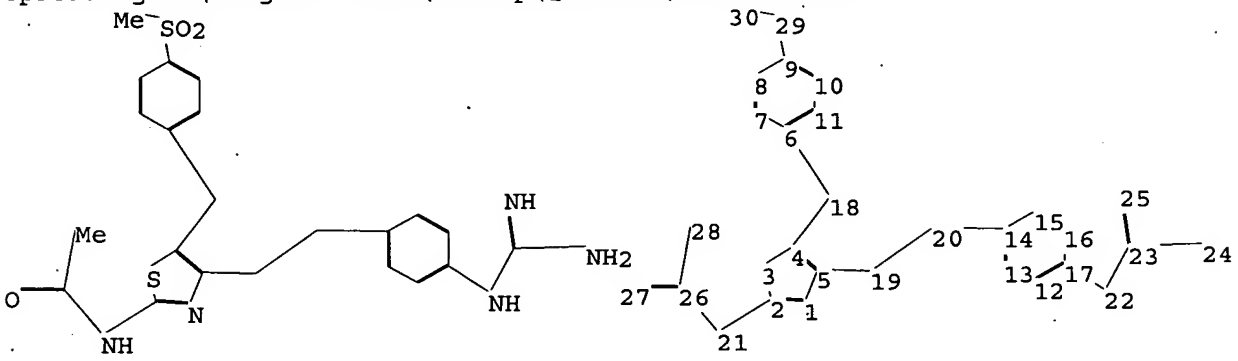
****
* *
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added, *
* effective March 20, 2005. A new display format, IDERL, is now *
* available and contains the CA role and document type information. *
* *
****

Structure search iteration limits have been increased. See HELP SLIMITS for details.

Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:
http://www.cas.org/ONLINE/DBSS/registryss.html

=>
Uploading C:\Program Files\Stnexp\Queries\10764529.str



chain nodes :
18 19 20 21 22 23 24 25 26 27 28 29 30
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 17
chain bonds :
2-21 4-18 5-19 6-18 9-29 14-20 17-22 19-20 21-26 22-23 23-24 23-25 26-27 26-28 29-30

```
ring bonds :
1-2  1-5  2-3  3-4  4-5  6-7  6-11  7-8  8-9  9-10  10-11  12-13  12-17  13-14
14-15  15-16  16-17
exact/norm bonds :
1-2  1-5  2-21  17-22  21-26  22-23  23-24  23-25  26-27
exact bonds :
2-3  3-4  4-5  4-18  5-19  6-18  9-29  14-20  19-20  26-28  29-30
normalized bonds :
6-7  6-11  7-8  8-9  9-10  10-11  12-13  12-17  13-14  14-15  15-16  16-17
isolated ring systems :
containing 1 : 6 : 12 :


Match level :
1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:Atom  7:Atom  8:Atom  9:Atom  10:Atom
11:Atom  12:Atom  13:Atom  14:Atom  15:Atom  16:Atom  17:Atom  18:CLASS  19:CLASS
20:CLASS  21:CLASS  22:CLASS  23:CLASS  24:CLASS  25:CLASS  26:CLASS  27:CLASS
28:CLASS  29:CLASS  30:CLASS



L1      STRUCTURE UPLOADED

=> s l1
SAMPLE SEARCH INITIATED 19:45:20 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED -        5 TO ITERATE

100.0% PROCESSED         5 ITERATIONS                                  0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:            5 TO      234
PROJECTED ANSWERS:               0 TO        0

L2            0 SEA SSS SAM L1

=> s l1 ful
FULL SEARCH INITIATED 19:45:25 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -       58 TO ITERATE

100.0% PROCESSED        58 ITERATIONS                                 4 ANSWERS
SEARCH TIME: 00.00.01

L3            4 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                   161.33     161.54

FILE 'CAPLUS' ENTERED AT 19:45:31 ON 26 SEP 2005
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FILE COVERS 1907 - 26 Sep 2005 VOL 143 ISS 14
FILE LAST UPDATED: 25 Sep 2005 (20050925/ED)

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This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l3
L4 2 L3

=> d l4 ibib hitstr abs 1-2

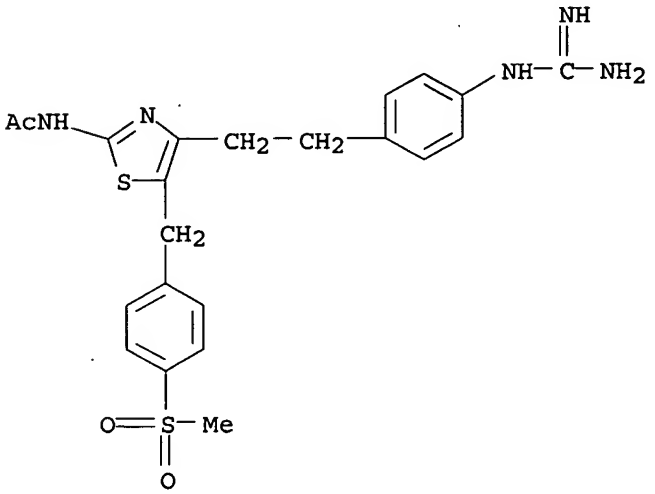
L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:857384 CAPLUS
DOCUMENT NUMBER: 141:350160
TITLE: treatment of vascular hyperpermeable disease using acylaminothiazoles and related compounds as vascular adhesion protein-1 (VAP-1) inhibitors.
INVENTOR(S): Ueno, Ryuji; Nagashima, Akira; Inoue, Takayuki; Ohkubo, Mitsuru; Yoshihara, Kousei
PATENT ASSIGNEE(S): Sucampo Ag, Switz.; Fujisawa Pharmaceutical Co., Ltd.
SOURCE: PCT Int. Appl., 269 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004087138	A1	20041014	WO 2004-JP4596	20040331

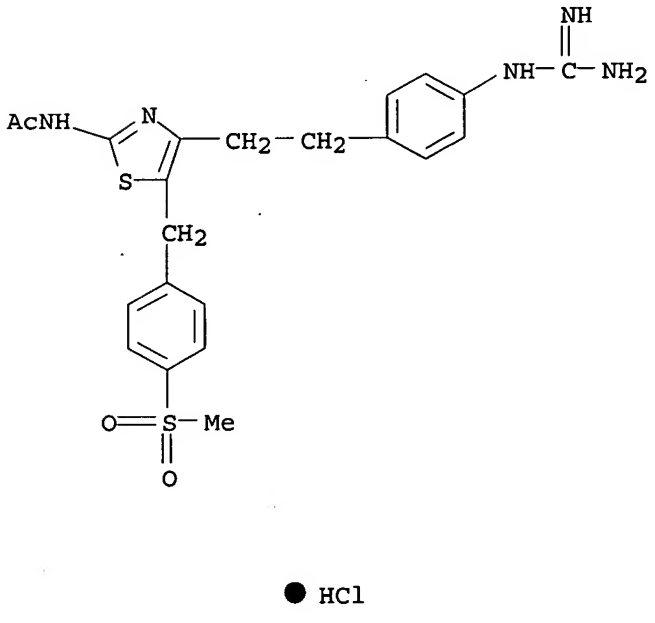
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2003-458370P P 20030331
OTHER SOURCE(S): MARPAT 141:350160
IT **737824-54-3P 737824-56-5P 737824-57-6P 737826-15-2P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(treatment of vascular hyperpermeable disease using acylaminothiazoles and related compds. as vascular adhesion protein-1 (VAP-1) inhibitors)

RN 737824-54-3 CAPLUS
CN Acetamide, N-[4-[2-[4-[(aminoiminomethyl)amino]phenyl]ethyl]-5-[[4-(methylsulfonyl)phenyl]methyl]-2-thiazolyl]- (9CI) (CA INDEX NAME)

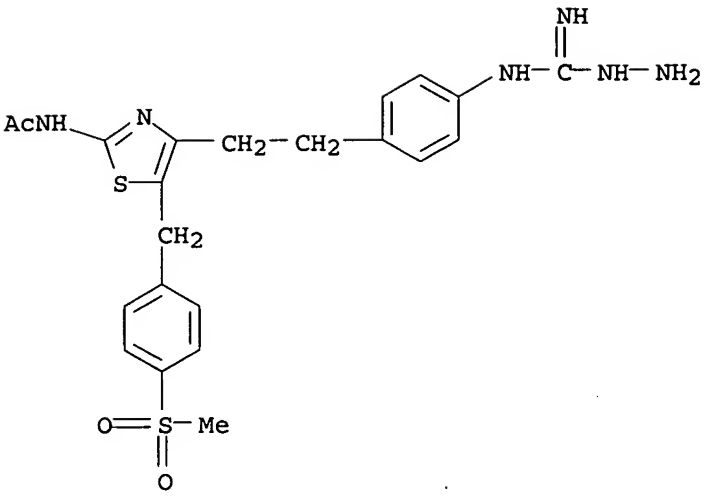


RN 737824-56-5 CAPLUS
CN Acetamide, N-[4-[2-[4-[(aminoiminomethyl)amino]phenyl]ethyl]-5-[[4-(methylsulfonyl)phenyl]methyl]-2-thiazolyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 737824-57-6 CAPLUS
CN Acetamide, N-[4-[2-[4-[(hydrazinoiminomethyl)amino]phenyl]ethyl]-5-[[4-(methylsulfonyl)phenyl]methyl]-2-thiazolyl]- (9CI) (CA INDEX NAME)



RN 737826-15-2 CAPLUS
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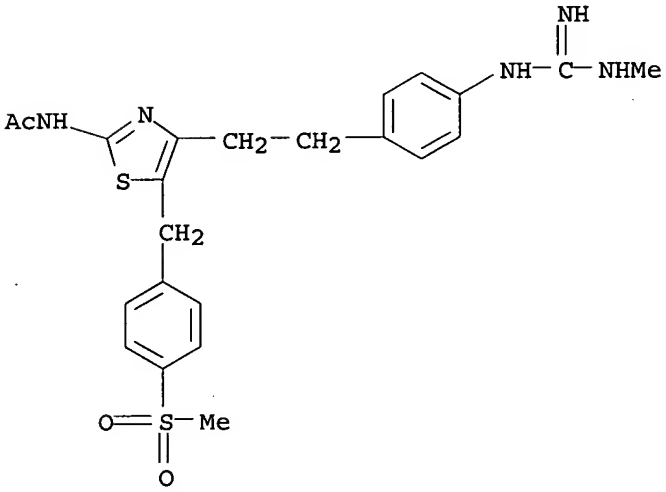
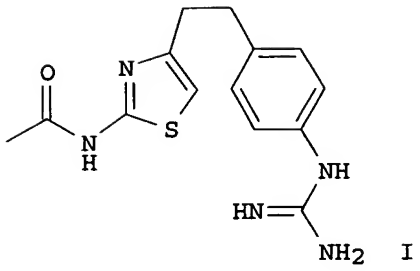


GI



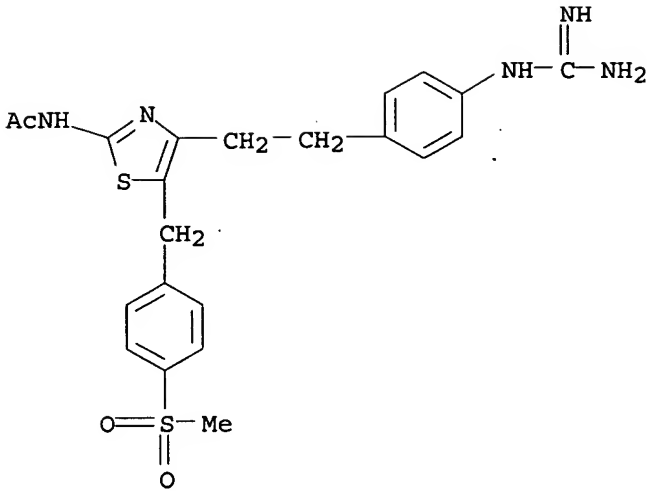
I

AB A method for treating a vascular hyperpermeable disease (except macular edema), comprises administration of a vascular adhesion protein-1 (VAP-1) inhibitor in an amount sufficient to treat said patient for said disease. Thus, N-[4-[2-(4-aminophenyl)ethyl]-1,3-thiazol-2-yl]acetamide (preparation given) was refluxed with HCl and cyanamide in EtOH for 26 h to give title compound (I). I inhibited human plasma VAP-1 (SSAO) with IC50 = 0.15 μM.
REFERENCE COUNT: 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

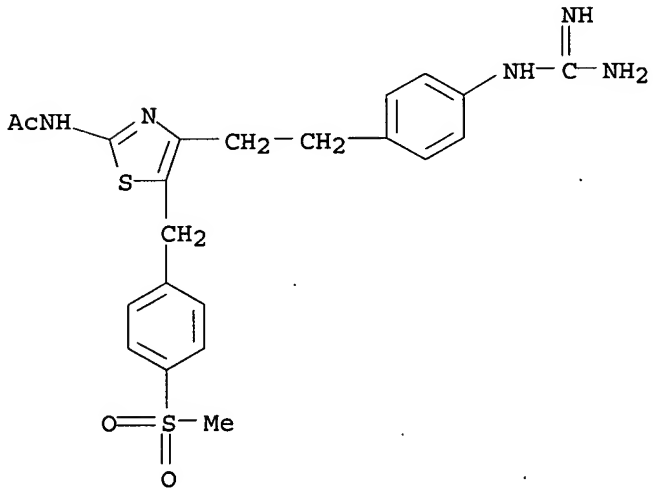
L4 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:648516 CAPLUS
DOCUMENT NUMBER: 141:190785
TITLE: Preparation of thiazole derivatives as VAP-1 inhibitors for treatment of macular edema and other VAP-1 associated diseases
INVENTOR(S): Inoue, Takayuki; Tojo, Takashi; Morita, Masataka; Ohkubo, Mitsuru; Yoshihara, Kousei; Nagashima, Akira
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 268 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2004067521	A1	20040812	WO 2004-JP708		20040127
W: AE, AE, AG, AL, AL, AM, AM, AM, AT, AT, AU, AZ, AZ, BA, BB, BG, BG, BR, BR, BW, BY, BY, BZ, BZ, CA, CH, CN, CN, CO, CO, CR, CR, CU, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EC, EE, EE, EG, ES, ES, FI, FI, GB, GD, GE, GE, GH, GM, HR, HR, HU, HU, ID, IL, IN, IS, JP, JP, KE, KE, KG, KG, KP, KP, KP, KR, KR, KZ, KZ, KZ, LC, LK, LR, LS, LS, LT, LU, LV, MA, MD, MD, MG, MK, MN, MW, MX, MX, MZ, MZ, NA, NI					
US 2004259923	A1	20041223	US 2004-764529		20040127
PRIORITY APPLN. INFO.:			US 2003-442509P	P	20030127
			US 2003-458369P	P	20030331
			US 2003-517377P	P	20031106

OTHER SOURCE(S): MARPAT 141:190785
IT **737824-54-3P**, N-[4-[2-[4-[[Amino(imino)methyl]amino]phenyl]ethyl]-5-[4-(methylsulfonyl)benzyl]thiazol-2-yl]acetamide **737824-56-5P**, N-[4-[2-[4-[[Amino(imino)methyl]amino]phenyl]ethyl]-5-[4-(methylsulfonyl)benzyl]thiazol-2-yl]acetamide hydrochloride **737824-57-6P**, N-[4-[2-[4-[[Hydrazino(imino)methyl]amino]phenyl]ethyl]-5-[4-(methylsulfonyl)benzyl]thiazol-2-yl]acetamide **737826-15-2P**, N-[4-[2-[4-[[(Imino)(methylamino)methyl]amino]phenyl]ethyl]-5-[4-(methylsulfonyl)benzyl]thiazol-2-yl]acetamide
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(VAP-1 inhibitor; preparation of thiazole derivs. as VAP-1 inhibitors for treatment of macular edema and other VAP-1 associated diseases)
RN 737824-54-3 CAPLUS
CN Acetamide, N-[4-[2-[4-[(aminoiminomethyl)amino]phenyl]ethyl]-5-[[4-(methylsulfonyl)phenyl]methyl]-2-thiazolyl]- (9CI) (CA INDEX NAME)

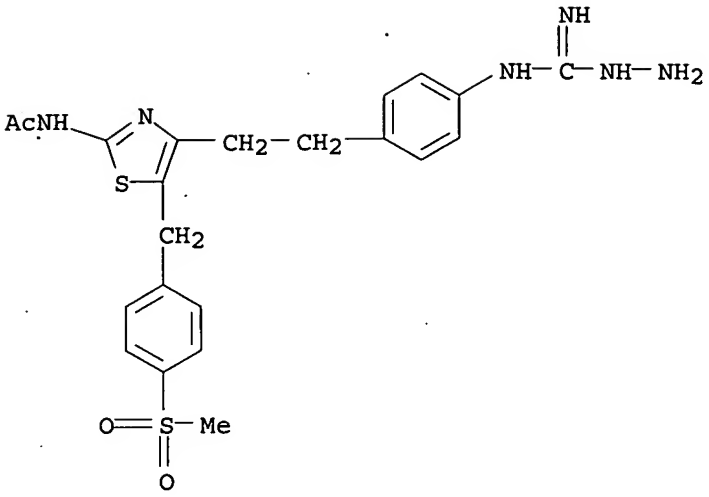


RN 737824-56-5 CAPLUS
CN Acetamide, N-[4-[2-[4-[(aminoiminomethyl)amino]phenyl]ethyl]-5-[[4-(methylsulfonyl)phenyl]methyl]-2-thiazolyl]-, monohydrochloride (9CI) (CA INDEX NAME)

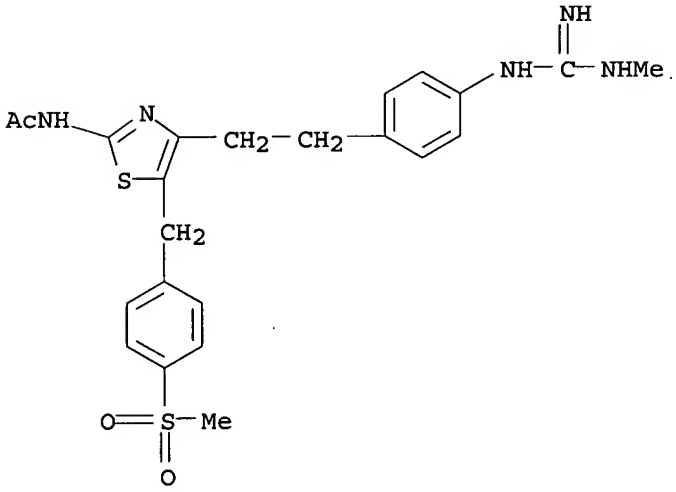


● HCl

RN 737824-57-6 CAPLUS
CN Acetamide, N-[4-[2-[4-[(hydrazinoiminomethyl)amino]phenyl]ethyl]-5-[[4-(methylsulfonyl)phenyl]methyl]-2-thiazolyl]- (9CI) (CA INDEX NAME)



RN 737826-15-2 CAPLUS
CN Acetamide, N-[4-[2-[4-[[imino(methylamino)methyl]amino]phenyl]ethyl]-5-[[4-(methylsulfonyl)phenyl]methyl]-2-thiazolyl]- (9CI) (CA INDEX NAME)



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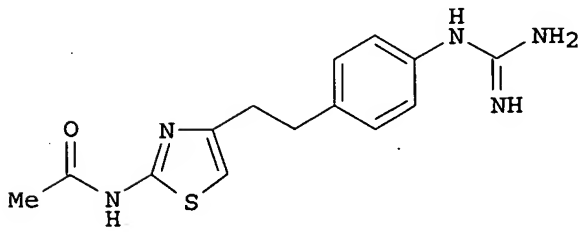

II

AB Title compds. of formula R1NHXYZ [I; wherein R1 = acyl; X = a bivalent (un)substituted thiazole; Y = a bond, alkylene, alkenylene, COHN; Z = 2-aminobenzimidazolyl, C6H4-R2; R2 = ABDE; A = a bond, alkylene, NH, SO2; B = a bond , alkylene, CO, O; D = a bond, alkylene, NH, CH2NH; E = (un)protected amino, N=CH2, dihydrothiazol-2-yl, dihydroimidazol-2-yl, C(=NH)R3; R3 = H, alkyl(thio), NHR4; R4 = H, NH2, alkyl; and pharmaceutically acceptable salts thereof] were prepared as vascular adhesion protein-1 (VAP-1) inhibitors. For example, cycloaddn. of 3-chloro-2-oxopropyl acetate and thiourea in EtOH gave (2-amino-1,3-thiazol-4-yl)methyl acetate•HCl, which was amidated with acetyl chloride using pyridine in CH2Cl2. Deprotection of [2-(acetylamino)thiazol-4-yl]methyl acetate using K2CO3 in MeOH, followed by reaction of the resulting alc. with MnO2 in MeOH/CHCl3 provided N-(4-formylthiazol-2-yl)acetamide. Coupling of the aldehyde with 1-(bromomethyl)-4-nitrobenzene in the presence of PPh3 and t-BuOH in DMF gave N-[4-[(Z)-2-(4-nitrophenyl)ethenyl]thiazol-2-yl]acetamide, which was reduced to the amine with Pd/C in MeOH/THF/AcOH. Finally, coupling of the amine with cyanamide in the presence of HCl in EtOH/EtOAc afforded II. The latter inhibited VAP-1 enzyme (SSAO) activity in both human and rat plasma (IC50 = 0.15 μM and 0.012 μM, resp.), but not the enzyme activities of other amine oxidases (IC50 >100μM), such as human platelet monoamine oxidase (MAO) and cloned diamine oxidase (DAO, histaminase). Treatment of diabetic rats daily with II (10 mg/kg/ s.c. u.i.d.) improved their ocular permeability in comparison with the diabetic control group (vitreous/plasma ratio of fluorescein concns. = 5.39 ± 0.73 x10-3 and 8.93 ± 1.14 x10-3, resp.). Thus, I and their pharmaceutical compns. are useful for preventing or treating VAP-1 associated diseases, especially macular edema (no data).

=> log y

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	10.33	171.87

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-1.46	-1.46

STN INTERNATIONAL LOGOFF AT 19:45:57 ON 26 SEP 2005